

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
5 February 2004 (05.02.2004)

PCT

(10) International Publication Number
WO 2004/011487 A2

(51) International Patent Classification: C07K 7/54, 7/64,
A61K 38/12, G01N 33/68

Farmaceutiche Riunite S.p.A., Via Pontina Km. 30,400,
I-00040 Pomezia (IT).

(21) International Application Number:
PCT/IT2003/000446

(74) Agents: SPADARO, Marco et al.; Cavattoni-Raimondi,
Viale dei Parioli, 160, I-00197 Roma (IT).

(22) International Filing Date: 18 July 2003 (18.07.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
RM2002A000402 29 July 2002 (29.07.2002) IT

(81) Designated States (national): AE, AG, AL, AM, AT, AU,
AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU,
CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW,
MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC,
SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA,
UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(71) Applicant (for all designated States except US):
SIGMA-TAU INDUSTRIE FARMACEUTIQUE RI-
UNITE S.P.A. [IT/IT]; 47, Viale Shakespeare, I-00144
Rome (IT).

(84) Designated States (regional): ARIPO patent (GH, GM,
KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW),
Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),
European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE,
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO,
SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM,
GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

(72) Inventors; and

(75) Inventors/Applicants (for US only): DAL POZZO,
Alma [IT/IT]; c/o Istituto Scientifico " G. Ronzoni",
Via Colombo, 81, I-20133 Milano (IT). GIANNINI,
Giuseppe [IT/IT]; Sigma-Tau Industrie Farmaceutiche,
Riunite S.p.a., Via Pontina, km 30, 400, I-00040 Pomezia
(IT). PISANO, Claudio [IT/IT]; c/o Sigma-Tau Industrie

Published:

— without international search report and to be republished
upon receipt of that report

For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.

(54) Title: FLUORO-ALKYL-CYCLOPEPTIDE DERIVATIVES ENDOWED WITH ANTI-INTEGRIN ACTIVITY

(57) Abstract: Compounds of formula (I) cyclo [NX₁-R₁-CO-NX₂-R₂-CO-NX₃-R₃-CO-NX₄-CO-NX₅-R₅-CO], where the meanings
of the various groups are as described here below, are inhibitors of integrins, particularly those belonging to the $\alpha_v\beta_3$ and $\alpha_v\beta_5$ family,
and thus are useful as medicaments, particularly for the treatment of the underlying diseases responsible for abnormal angiogenesis,
such as retinopathy, acute kidney failure, osteoporosis and metastases. The compounds described herein are also useful as diagnostic
agents, when appropriately labelled, especially for the detection and location of small tumour masses and arterial occlusion events.

WO 2004/011487 A2